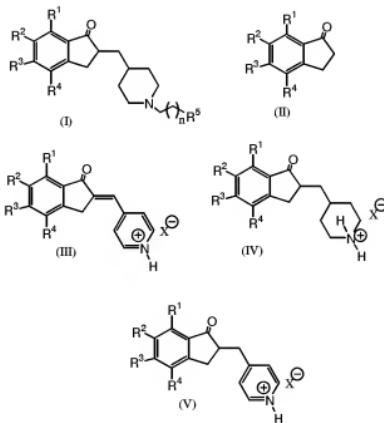


CLAIMS

1. A process for producing a Donepezil derivative of formula (I), wherein R^1 , R^2 , R^3 , and R^4 each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; R^5 represents a phenyl or a substituted phenyl; and n is an integer from 0 to 2, characterized in that the process comprises:

- a) a reaction of 4-pyridinecarboxaldehyde with a compound of formula (II) to form in the presence of a strong acid HX a compound of the formula (III);
- b) a catalytic hydrogenation of a compound of formula (III) or the compound of formula (V) to yield a compound of formula (IV); and
- c) an alkylation reaction of a compound of formula (IV) to yield a compound of formula (I).



2. The process according to claim 1 for the preparation of a compound of the general formula (I), wherein R^1 , R^2 , R^3 , and R^4 each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having 1 to 4 carbon atoms;

R^5 represents a phenyl or substituted phenyl; and n is an integer from 0 to 2, characterized in that a compound of formula (I) is produced by reacting a compound of formula $Y-(CH_2)_{n+1}R^5$ with a compound of formula (IV) in the presence of a base, wherein Y represents a chlorine atom, a bromine atom, or an iodine atom.

3. The process according to claim 1 for the preparation of a compound of the general formula (I), wherein R^1 , R^2 , R^3 , and R^4 each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; R^5 represents a phenyl or a substituted phenyl; and n is an integer from 0 to 2, characterized in that a compound of formula (I) is produced by reacting a compound of formula $OHC-(CH_2)_nR^5$ with a compound of formula (IV), in the presence of a reducing agent.
4. The process according to claim 1 for the preparation of a compound of the general formula (IV), wherein R^1 , R^2 , R^3 , and R^4 each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; HX represents an alkyl sulfonic acid, benzene sulfonic acid, a substituted benzene sulfonic acid, hydrochloric acid, sulfuric acid, nitric acid, or phosphoric acid, characterized in that a compound of formula (IV) is produced by the catalytic hydrogenation of a compound of formula (III).
5. The process according to claim 1 for the preparation of a compound of the general formula (IV), wherein R^1 , R^2 , R^3 , and R^4 each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; and HX represents a strong acid, characterized in that a compound of formula (IV) is produced by catalytic hydrogenation of a compound of formula (V).

6. The process according to claim 1 for the preparation of a compound of the general formula (III), wherein R¹, R², R³, and R⁴ each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; and HX represents a strong acid, characterized in that 4-pyridinecarboxaldehyde reacts with a compound of formula (II) in the presence of a strong acid HX to form a compound of the formula (III).
7. The process according to any of claims 1 or 2 or 3 for the preparation of a compound of the general formula (I), characterized in that R¹ represents hydrogen; R² represents a methoxy; R³ represents a methoxy; R⁴ represents hydrogen; R⁵ represents a phenyl or a 3-fluorophenyl; n is 0; HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid; and Y represents a chlorine, a bromine, or an iodine.
8. The process according to any of claims 1 or 4 or 5 for the preparation of a compound of the general formula (IV) wherein R¹ represents hydrogen, R² represents methoxy, R³ represents methoxy, R⁴ represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid.
9. The process according to any of claims 1 or 4 or 5 for the preparation of a compound of the general formula (IV), wherein said compound of formula (IV) is produced from a compound of formula (III) and a compound of formula (V) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
10. The process according to claims 1 or 6 for the preparation of a compound of the general formula (III), wherein R¹ represents hydrogen, R² represents methoxy, R³ represents methoxy, R⁴ represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid.